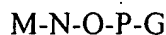


We claim:

1. A compound of the general formula:



wherein

M is an optical label or a metal chelator, optionally complexed with a radionuclide;

N is 0, an alpha or non-alpha amino acid or other linking group;

O is an alpha or non-alpha amino acid; and

P is 0, an alpha or non-alpha amino acid or other linking group,

and G is a GRP receptor targeting peptide,

wherein at least one of N, O or P is a non-alpha amino acid.

2. The compound of claim 1; wherein G is an agonist or a peptide which confers agonist activity.

3. The compound of claim 1, wherein the non-alpha amino acid is selected from the group consisting of:

8-amino-3,6-dioxaoctanoic acid;

N-4-aminoethyl-N-1-piperazine-acetic acid; and

polyethylene glycol derivatives having the formula $NH_2-(CH_2CH_2O)_n-CH_2CO_2H$ or $NH_2-(CH_2CH_2O)_n-CH_2CH_2CO_2H$ where $n = 2$ to 100.

4. The compound of claim 1, wherein the metal chelator is selected from the group consisting of DTPA, DOTA, DO3A, HP-DO3A, EDTA, TETA, EHPG, HBED, NOTA, DOTMA, TETMA, PDTA, TTHA, LICAM, MECAM and CMDOTA.

5. The compound of claim 1, wherein the metal chelator is selected from the group consisting of

N,N-dimethylGly-Ser-Cys;

N,N-dimethylGly-Thr-Cys;

N,N-diethylGly-Ser-Cys; and

N,N-dibenzylGly-Ser-Cys.

6. The compound of claim 1, wherein the metal chelator is selected from the group consisting of

N,N-dimethylGly-Ser-Cys-Gly;
N,N-dimethylGly-Thr-Cys-Gly ;
N,N-diethylGly-Ser-Cys-Gly; and
N,N-dibenzylGly-Ser-Cys-Gly.

7. The compound of claim 1, selected from the group consisting of:

N,N-dimethylglycine-Ser-Cys(Acm)-Gly-Lys-8-amino-3,6-dioxaoctanoic acid-BBN(7-14)
wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
N,N-dimethylglycine-Ser-Cys(Acm)-Gly-Arg-8-amino-3,6-dioxaoctanoic acid-BBN(7-14)
wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
N,N-dimethylglycine-Ser-Cys(Acm)-Gly-Asp-8-amino-3,6-dioxaoctanoic acid-BBN(7-14)
wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
N,N-dimethylglycine-Ser-Cys(Acm)-Gly-Ser-8-amino-3,6-dioxaoctanoic acid-BBN(7-14)
wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
N,N-dimethylglycine-Ser-Cys(Acm)-Gly-Gly-8-amino-3,6-dioxaoctanoic acid-BBN(7-14)
wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
N,N-dimethylglycine-Ser-Cys(Acm)-Gly-Glu-8-amino-3,6-dioxaoctanoic acid-BBN(7-14)
wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
N,N-dimethylglycine-Ser-Cys(Acm)-Gly-Dala-8-amino-3,6-dioxaoctanoic acid-BBN(7-14)
wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
N,N-dimethylglycine-Ser-Cys(Acm)-Gly-8-amino-3,6-dioxaoctanoic acid-Lys-BBN(7-14)
wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
N,N-dimethylglycine-Ser-Cys(Acm)-Gly-8-amino-3,6-dioxaoctanoic acid-Arg-BBN(7-14)
wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
N,N-dimethylglycine-Ser-Cys(Acm)-Gly-8-amino-3,6-dioxaoctanoic acid-Asp-BBN(7-14)
wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
N,N-dimethylglycine-Ser-Cys(Acm)-Gly-8-amino-3,6-dioxaoctanoic acid-Ser-BBN(7-14)
wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
N,N-dimethylglycine-Ser-Cys(Acm)-Gly-8-amino-3,6-dioxaoctanoic acid-Gly-BBN(7-14)
wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
N,N-dimethylglycine-Ser-Cys(Acm)-Gly-8-amino-3,6-dioxaoctanoic acid-Glu-BBN(7-14)
wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
N,N-dimethylglycine-Ser-Cys(Acm)-Gly-8-amino-3,6-dioxaoctanoic acid-Dala-BBN(7-14)
wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
N,N-dimethylglycine-Ser-Cys(Acm)-Gly-8-amino-3,6-dioxaoctanoic acid-8-amino-3,6-
dioxaoctanoic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
N,N-dimethylglycine-Ser-Cys(Acm)-Gly-8-amino-3,6-dioxaoctanoic acid-2,3-
diaminopropionic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

N,N-dimethylglycine-Ser-Cys(Acm)-Gly-8-amino-3,6-dioxaoctanoic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

N,N-dimethylglycine-Ser-Cys(Acm)-Gly-2,3-diaminopropionic acid-8-amino-3,6-dioxaoctanoic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

N,N-dimethylglycine-Ser-Cys(Acm)-Gly-Asp-8-amino-3,6-dioxaoctanoic acid-Gly-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

N,N-dimethylglycine-Ser-Cys(Acm)-Gly-8-amino-3,6-dioxaoctanoic acid-Asp-Gly-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

N,N-dimethylglycine-Ser-Cys(Acm)-Gly-8-amino-3,6-dioxaoctanoic acid-Ser-Gly-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

N,N-dimethylglycine-Ser-Cys(Acm)-Gly-8-amino-3,6-dioxaoctanoic acid-Arg-Gly-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

N,N-dimethylglycine-Ser-Cys(Acm)-Gly-8-amino-3,6-dioxaoctanoic acid-8-amino-3,6-dioxaoctanoic acid-Gly-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

N,N-dimethylglycine-Ser-Cys(Acm)-Gly-8-amino-3,6-dioxaoctanoic acid-2,3-diaminopropionic acid-Gly-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

N,N-dimethylglycine-Ser-Cys(Acm)-Gly-8-amino-3,6-dioxaoctanoic acid-Lys-Gly-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

N,N-dimethylglycine-Ser-Cys(Acm)-Gly-2,3-diaminopropionic acid-8-amino-3,6-dioxaoctanoic acid-Gly-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

N,N-dimethylglycine-Ser-Cys(Acm)-Gly-N-4-aminoethyl-N-1-piperazineacetic acid-Asp-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

N,N-dimethylglycine-Ser-Cys(Acm)-Gly-N-4-aminoethyl-N-1-piperazineacetic acid-Ser-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

N,N-dimethylglycine-Ser-Cys(Acm)-Gly-N-4-aminoethyl-N-1-piperazineacetic acid-Arg-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

N,N-dimethylglycine-Ser-Cys(Acm)-Gly-N-4-aminoethyl-N-1-piperazineacetic acid-8-amino-3,6-dioxaoctanoic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

N,N-dimethylglycine-Ser-Cys(Acm)-Gly-N-4-aminoethyl-N-1-piperazineacetic acid-2,3-diaminopropionic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

N,N-dimethylglycine-Ser-Cys(Acm)-Gly-N-4-aminoethyl-N-1-piperazineacetic acid-Lys-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

N,N-dimethylglycine-Ser-Cys(Acm)-Gly-N-1-piperazineacetic acid-Asp-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

N,N-dimethylglycine-Ser-Cys(Acm)-Gly-N-1-piperazineacetic acid-Ser-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

N,N-dimethylglycine-Ser-Cys(Acm)-Gly-N-1-piperazineacetic acid-Arg-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

N,N-dimethylglycine-Ser-Cys(Acm)-Gly-N-1-piperazineacetic acid-8-amino-3,6-dioxaoctanoic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

N,N-dimethylglycine-Ser-Cys(Acm)-Gly-N-1-piperazineacetic acid-2,3-diaminopropionic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

N,N-dimethylglycine-Ser-Cys(Acm)-Gly-N-1-piperazineacetic acid-Lys-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
N,N-dimethylglycine-Ser-Cys(Acm)-Gly-4-Hydroxyproline-8-amino-3,6-dioxaoctanoic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
N,N-dimethylglycine-Ser-Cys(Acm)-Gly-4-aminoproline-8-amino-3,6-dioxaoctanoic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
N,N-dimethylglycine-Ser-Cys(Acm)-Gly-Lys-8-amino-3,6-dioxaoctanoic acid-Gly-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
N,N-dimethylglycine-Ser-Cys(Acm)-Gly-Arg-8-amino-3,6-dioxaoctanoic acid-Gly-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
N,N-dimethylglycine-Ser-Cys(Acm)-Gly-Ser-8-amino-3,6-dioxaoctanoic acid-Gly-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
N,N-dimethylglycine-Ser-Cys(Acm)-Gly-Asp-8-amino-3,6-dioxaoctanoic acid-Gly-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
N,N-dimethylglycine-Ser-Cys(Acm)-Gly-8-amino-3,6-dioxaoctanoic acid-Asp-Gly-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
N,N-dimethylglycine-Ser-Cys(Acm)-Gly-8-amino-3,6-dioxaoctanoic acid-Ser-Gly-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
N,N-dimethylglycine-Ser-Cys(Acm)-Gly-8-amino-3,6-dioxaoctanoic acid-Arg-Gly-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
N,N-dimethylglycine-Ser-Cys(Acm)-Gly-8-amino-3,6-dioxaoctanoic acid-8-amino-3,6-dioxaoctanoic acid-Gly-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
N,N-dimethylglycine-Ser-Cys(Acm)-Gly-8-amino-3,6-dioxaoctanoic acid-2,3-diaminopropionic acid-Gly-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
N,N-dimethylglycine-Ser-Cys(Acm)-Gly-8-amino-3,6-dioxaoctanoic acid-Lys-Gly-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1; and
N,N-dimethylglycine-Ser-Cys(Acm)-Gly-2,3-diaminopropionic acid-8-amino-3,6-dioxaoctanoic acid-Gly-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1.

8. The compound of claim 1, selected from the group consisting of:

N,N-dimethylglycine-Ser-Cys-Gly-Lys-8-amino-3,6-dioxaoctanoic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
N,N-dimethylglycine-Ser-Cys-Gly-Arg-8-amino-3,6-dioxaoctanoic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
N,N-dimethylglycine-Ser-Cys-Gly-Asp-8-amino-3,6-dioxaoctanoic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
N,N-dimethylglycine-Ser-Cys-Gly-Ser-8-amino-3,6-dioxaoctanoic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
N,N-dimethylglycine-Ser-Cys-Gly-Gly-8-amino-3,6-dioxaoctanoic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
N,N-dimethylglycine-Ser-Cys-Gly-Glu-8-amino-3,6-dioxaoctanoic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

N,N-dimethylglycine-Ser-Cys-Gly-Dala-8-amino-3,6-dioxaoctanoic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

N,N-dimethylglycine-Ser-Cys-Gly-8-amino-3,6-dioxaoctanoic acid-Lys-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

N,N-dimethylglycine-Ser-Cys-Gly-8-amino-3,6-dioxaoctanoic acid-Arg-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

N,N-dimethylglycine-Ser-Cys-Gly-8-amino-3,6-dioxaoctanoic acid-Asp-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

N,N-dimethylglycine-Ser-Cys-Gly-8-amino-3,6-dioxaoctanoic acid-Ser-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

N,N-dimethylglycine-Ser-Cys-Gly-8-amino-3,6-dioxaoctanoic acid-Gly-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

N,N-dimethylglycine-Ser-Cys-Gly-8-amino-3,6-dioxaoctanoic acid-Glu-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

N,N-dimethylglycine-Ser-Cys-Gly-8-amino-3,6-dioxaoctanoic acid-Dala-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

N,N-dimethylglycine-Ser-Cys-Gly-8-amino-3,6-dioxaoctanoic acid-8-amino-3,6-dioxaoctanoic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

N,N-dimethylglycine-Ser-Cys-Gly-8-amino-3,6-dioxaoctanoic acid-2,3-diaminopropionic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

N,N-dimethylglycine-Ser-Cys-Gly-8-amino-3,6-dioxaoctanoic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

N,N-dimethylglycine-Ser-Cys-Gly-2,3-diaminopropionic acid-8-amino-3,6-dioxaoctanoic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

N,N-dimethylglycine-Ser-Cys-Gly-Asp-8-amino-3,6-dioxaoctanoic acid-Gly-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

N,N-dimethylglycine-Ser-Cys-Gly-8-amino-3,6-dioxaoctanoic acid-Asp-Gly-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

N,N-dimethylglycine-Ser-Cys-Gly-8-amino-3,6-dioxaoctanoic acid-Ser-Gly-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

N,N-dimethylglycine-Ser-Cys-Gly-8-amino-3,6-dioxaoctanoic acid-Arg-Gly-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

N,N-dimethylglycine-Ser-Cys-Gly-8-amino-3,6-dioxaoctanoic acid-8-amino-3,6-dioxaoctanoic acid-Gly-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

N,N-dimethylglycine-Ser-Cys-Gly-8-amino-3,6-dioxaoctanoic acid-2,3-diaminopropionic acid-Gly-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

N,N-dimethylglycine-Ser-Cys-Gly-8-amino-3,6-dioxaoctanoic acid-Lys-Gly-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

N,N-dimethylglycine-Ser-Cys-Gly-2,3-diaminopropionic acid-8-amino-3,6-dioxaoctanoic acid-Gly-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

N,N-dimethylglycine-Ser-Cys-Gly-N-4-aminoethyl-N-1-piperazineacetic acid-Asp-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

N,N-dimethylglycine-Ser-Cys-Gly-N-4-aminoethyl-N-1-piperazineacetic acid-Ser-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

N,N-dimethylglycine-Ser-Cys-Gly-N-4-aminoethyl-N-1-piperazineacetic acid-Arg-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

N,N-dimethylglycine-Ser-Cys(Acm)-Gly-N-4-aminoethyl-N-1-piperazineacetic acid-8-amino-3,6-dioxaoctanoic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

N,N-dimethylglycine-Ser-Cys-Gly-N-4-aminoethyl-N-1-piperazineacetic acid-2,3-diaminopropionic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

N,N-dimethylglycine-Ser-Cys-Gly-N-4-aminoethyl-N-1-piperazineacetic acid-Lys-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

N,N-dimethylglycine-Ser-Cys-Gly-N-1-piperazineacetic acid-Asp-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

N,N-dimethylglycine-Ser-Cys-Gly-N-1-piperazineacetic acid-Ser-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

N,N-dimethylglycine-Ser-Cys-Gly-N-1-piperazineacetic acid-Arg-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

N,N-dimethylglycine-Ser-Cys-Gly-N-1-piperazineacetic acid-8-amino-3,6-dioxaoctanoic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

N,N-dimethylglycine-Ser-Cys-Gly-N-1-piperazineacetic acid-2,3-diaminopropionic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

N,N-dimethylglycine-Ser-Cys-Gly-N-1-piperazineacetic acid-Lys-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

N,N-dimethylglycine-Ser-Cys-Gly-4-Hydroxyproline-8-amino-3,6-dioxaoctanoic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

N,N-dimethylglycine-Ser-Cys-Gly-4-aminoproline-8-amino-3,6-dioxaoctanoic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

N,N-dimethylglycine-Ser-Cys-Gly-Lys-8-amino-3,6-dioxaoctanoic acid-Gly-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

N,N-dimethylglycine-Ser-Cys-Gly-Arg-8-amino-3,6-dioxaoctanoic acid-Gly-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

N,N-dimethylglycine-Ser-Cys-Gly-Ser-8-amino-3,6-dioxaoctanoic acid-Gly-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

N,N-dimethylglycine-Ser-Cys-Gly-Asp-8-amino-3,6-dioxaoctanoic acid-Gly-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

N,N-dimethylglycine-Ser-Cys-Gly-8-amino-3,6-dioxaoctanoic acid-Asp-Gly-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

N,N-dimethylglycine-Ser-Cys-Gly-8-amino-3,6-dioxaoctanoic acid-Ser-Gly-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

N,N-dimethylglycine-Ser-Cys-Gly-8-amino-3,6-dioxaoctanoic acid-Arg-Gly-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

N,N-dimethylglycine-Ser-Cys-Gly-8-amino-3,6-dioxaoctanoic acid-8-amino-3,6-dioxaoctanoic acid-Gly-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

N,N-dimethylglycine-Ser-Cys-Gly-8-amino-3,6-dioxaoctanoic acid-2,3-diaminopropionic acid-Gly-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

N,N-dimethylglycine-Ser-Cys-Gly-8-amino-3,6-dioxaoctanoic acid-Lys-Gly-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1; and

N,N-dimethylglycine-Ser-Cys-Gly-2,3-diaminopropionic acid-8-amino-3,6-dioxaoctanoic acid-Gly-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1.

9. The compound of claim 1, selected from the group consisting of:

DO3A-monoamide-8-amino-3,6-dioxaoctanoic acid-diaminopropionic acid-BBN(7-14)

wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

DO3A-monoamide-8-amino-3,6-dioxaoctanoic acid-biphenylalanine-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

DO3A-monoamide-8-amino-3,6-dioxaoctanoic acid-diphenylalanine-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

DO3A-monoamide-8-amino-3,6-dioxaoctanoic acid-4-benzoylphenylalanine-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

DO3A-monoamide-5-aminopentanoic acid-8-amino-3,6-dioxaoctanoic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

DO3A-monoamide-8-amino-3,6-dioxaoctanoic acid-D-phenylalanine-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1; and

DO3A-monoamide-8-aminooctanoic acid-8-amino-3,6-dioxaoctanoic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1.

10. The compound of any one of claims 1, 2 or 3, wherein the optical label is selected from the group consisting of organic chromophores, organic fluorophores, light-absorbing compounds, light-reflecting compounds, light-scattering compounds, and bioluminescent molecules.

11. A method of imaging comprising the steps of:

administering to a patient a diagnostic imaging agent comprising the compound of claim 1 wherein M is a metal chelator complexed with a diagnostic radionuclide, and
imaging said patient.

12. A method of imaging comprising the steps of:

administering to a patient a diagnostic imaging agent comprising the compound of claim 8 complexed with a diagnostic radionuclide, and
imaging said patient.

13. A method of imaging comprising the steps of:

administering to a patient a diagnostic imaging agent comprising the compound of claim 1 wherein M is an optical label, and

imaging said patient.

14. A method of imaging comprising the steps of:
administering to a patient a diagnostic imaging agent comprising the compound of claim 10, and
imaging said patient.

15. A method for preparing a diagnostic imaging agent comprising the step of adding to an injectable medium a substance comprising the compound of claim 1.

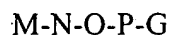
16. A method of treating a patient comprising the step of administering to a patient a radiotherapeutic agent comprising the compound of claims 7, 8 or 9 complexed with a therapeutic radionuclide.

17. A method of treating a patient comprising the step of administering to a patient a radiotherapeutic agent comprising the compound of claim 4 complexed with a therapeutic radionuclide.

18. A method of preparing a radiotherapeutic agent comprising the step of adding to an injectable medium a substance comprising the compound of claims 7, 8, or 9.

19. A method of preparing a radiotherapeutic agent comprising the step of adding to an injectable medium a substance comprising the compound of claim 4.

20. A compound of the general formula:



wherein

M is an optical label or a metal chelator, optionally complexed with a radionuclide;

N is 0, an alpha amino acid, a substituted bile acid or other linking group;

O is an alpha amino acid or a substituted bile acid; and

P is 0, an alpha amino acid, a substituted bile acid or other linking group;
and

G is a GRP receptor targeting peptide, and

wherein at least one of N, O or P is a substituted bile acid.

21. The compound of claim 20, wherein G is an agonist or a peptide which confers agonist activity.

22. The compound of claim 20, wherein the substituted bile acid is selected from the group consisting of:

3 β -amino-3-deoxycholic acid;

(3 β ,5 β)-3-aminocholan-24-oic acid;

(3 β ,5 β ,12 α)-3-amino-12-hydroxycholan-24-oic acid;

(3 β ,5 β ,7 α ,12 α)-3-amino-7,12-dihydroxycholan-24-oic acid;

Lys-(3,6,9)-trioxaundecane-1,11-dicarbonyl-3,7-dideoxy-3-aminocholic acid);

(3 β ,5 β ,7 α ,12 α)-3-amino-7-hydroxy-12-oxocholan-24-oic acid; and

(3 β ,5 β ,7 α)-3-amino-7-hydroxycholan-24-oic acid.

23. The compound of claim 20, wherein M is selected from the group consisting of: DTPA, DOTA, DO3A, HPDO3A, EDTA, TETA and CMDOTA.

24. The compound of claim 20, wherein M is selected from the group consisting of EHPG and derivatives thereof.

25. The compound of claim 20, wherein M is selected from the group consisting of 5-Cl-EHPG, 5-Br-EHPG, 5-Me-EHPG, 5-t-Bu-EHPG, and 5-sec-Bu-EHPG.

26. The compound of claim 20, wherein M is selected from the group consisting of benzodiethylenetriamine pentaacetic acid (benzo-DTPA) and derivatives thereof.

27. The compound of claim 20, wherein M is selected from the group consisting of dibenzo-DTPA, phenyl-DTPA, diphenyl-DTPA, benzyl-DTPA, and dibenzyl DTPA.

28. The compound of claim 20, wherein M is selected from the group consisting of HBED and derivatives thereof.

29. The compound of claim 20, wherein M is a macrocyclic compound which contains at least 3 carbon atoms and at least two heteroatoms (O and/or N), which macrocyclic compounds can consist of one ring, or two or three rings joined together at the hetero ring elements.

30. The compound of claim 20, wherein M is selected from the group consisting of benzo-DOTA, dibenzo-DOTA, and benzo-NOTA, benzo-TETA, benzo-DOTMA, and benzo-TETMA.

31. The compound of claim 20, wherein M is selected from the group consisting of derivatives of 1,3-propylenediaminetetraacetic acid (PDTA) and triethylenetetraaminehexaacetic acid (TTHA); derivatives of 1,5,10-N,N',N''-tris(2,3-dihydroxybenzoyl)-tricatecholate (LICAM) and 1,3,5-N,N',N''-tris(2,3-dihydroxybenzoyl) aminomethylbenzene (MECAM).

32. A compound of claim 20 selected from the group consisting of:

DO3A-monoamide-Gly-(3 β ,5 β)-3-aminocholan-24-oic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

DO3A-monoamide-Gly-(3 β ,5 β ,12 α)-3-amino-12-hydroxycholan-24-oic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

DO3A-monoamide-Gly-(3 β ,5 β ,7 α ,12 α)-3-amino-7,12-dihydroxycholan-24-oic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

DO3A-monoamide-Gly-Lys-(3,6,9)-trioxaundecane-1,11-dicarbonyl-3,7-dideoxy-3-aminocholic acid)-Arg-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

(3 β ,5 β ,7 α ,12 α)-3-amino-7,12-dihydroxycholan-24-oic acid-3,6,9-trioxaundecane-1,11-dicarbonyl Lys(DO3A-monoamide-Gly)-Arg-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

DO3A-monoamide-Gly-(3 β ,5 β ,7 α ,12 α)-3-amino-12-oxocholan-24-oic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

DO3A-monoamide-1-amino-3,6-dioxaoctanoic acid-(3 β ,5 β ,7 α ,12 α)-3-amino-7,12-dihydroxycholan-24-oic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

DO3A-monoamide-Gly-(3 β ,5 β 7 α ,12 α)-3-amino-7,12-dihydroxycholan-24-oic acid-QWAVaHLM-NH₂

DO3A-monoamide-Gly-(3 β ,5 β 7 α ,12 α)-3-amino-7,12-dihydroxycholan-24-oic acid-f-QWAVGHLM-NH₂

DO3A-monoamide-Gly-(3 β ,5 β 7 α ,12 α)-3-amino-7,12-dihydroxycholan-24-oic acid-f-WAVGHLL-NH₂

DO3A-monoamide-Gly-(3 β ,5 β 7 α ,12 α)-3-amino-7,12-dihydroxycholan-24-oic acid-f-QWAVGHL-NH-pentyl

DO3A-monoamide-Gly-(3 β ,5 β 7 α ,12 α)-3-amino-7,12-dihydroxycholan-24-oic acid-y-QWAV-Bala-H-F-Nle-NH₂

DO3A-monoamide-Gly-(3 β ,5 β 7 α ,12 α)-3-amino-7,12-dihydroxycholan-24-oic acid-f-QWAV-Bala-H-F-Nle-NH₂

DO3A-monoamide-Gly-(3 β ,5 β 7 α ,12 α)-3-amino-7,12-dihydroxycholan-24-oic acid-QWAVGHFL-NH₂

DO3A-monoamide-Gly-(3 β ,5 β 7 α ,12 α)-3-amino-7,12-dihydroxycholan-24-oic acid-QWAVGNMeH-L-M-NH₂

DO3A-monoamide-Gly-(3 β ,5 β 7 α ,12 α)-3-amino-7,12-dihydroxycholan-24-oic acid-LWAVGSF-M-NH₂

DO3A-monoamide-Gly-(3 β ,5 β 7 α ,12 α)-3-amino-7,12-dihydroxycholan-24-oic acid-
HWAVGHLM-NH₂
 DO3A-monoamide-Gly-(3 β ,5 β 7 α ,12 α)-3-amino-7,12-dihydroxycholan-24-oic acid-LWAGHFM-
NH₂
 DO3A-monoamide-Gly-(3 β ,5 β 7 α ,12 α)-3-amino-7,12-dihydroxycholan-24-oic acid-
QWAVGHFM-NH₂
 DO3A-monoamide-Gly-(3 β ,5 β 7 α ,12 α)-3-amino-7,12-dihydroxycholan-24-oic acid-
QRLGNQWAVGHLM-NH₂
 DO3A-monoamide-Gly-(3 β ,5 β 7 α ,12 α)-3-amino-7,12-dihydroxycholan-24-oic acid-
QRYGNQWAVGHLM-NH₂
 DO3A-monoamide-Gly-(3 β ,5 β 7 α ,12 α)-3-amino-7,12-dihydroxycholan-24-oic acid-
QKYGNQWAVGHLM-NH₂
 Pglu-Q-Lys (DO3A-monoamide)-Gly-(3 β ,5 β 7 α ,12 α)-3-amino-7,12-dihydroxycholan-24-oic acid-
LGNQWAVGHLM-NH₂
 DO3A-monoamide-Gly-3-amino-3-deoxycholic acid- QRLGNQWAVGHLM-NH₂
 DO3A-monoamide-Gly-3-amino-3-deoxycholic acid- QRYGNQWAVGHLM-NH₂
 DO3A-monoamide-Gly-3-amino-3-deoxycholic acid- QKYGNQWAVGHLM-NH₂
 Pglu-Q-Lys(DO3A-monoamide- G-3-amino-3-deoxycholic acid)-LGNQWAVGHLM-NH₂.

33. The compound of any one of claims 20, 21 or 22, wherein the optical label is selected from the group consisting of organic chromophores, organic fluorophores, light-absorbing compounds, light-reflecting compounds, light-scattering compounds, and bioluminescent molecules.

34. A method of imaging comprising the steps of:
 administering to a patient a diagnostic imaging agent comprising the compound of claim 20 wherein M is a metal chelator complexed with a diagnostic radionuclide, and
 imaging said patient.

35. A method of imaging comprising the steps of:
 administering to a patient a diagnostic imaging agent comprising the compound of claim 32, and
 imaging said patient.

36. A method of imaging comprising the steps of:
 administering to a patient a diagnostic imaging agent comprising the compound of claim 20 wherein M is an optical label, and

imaging said patient.

37. A method of imaging comprising the steps of:
administering to a patient a diagnostic imaging agent comprising the compound of claim 33, and

imaging said patient.

38. A method for preparing a diagnostic imaging agent comprising the step of adding to an injectable medium a substance comprising the compound of claim 20.

39. A method of treating a patient comprising the step of administering to a patient a radiotherapeutic agent comprising the compound of claim 20 complexed with a therapeutic radionuclide.

40. A method of preparing a radiotherapeutic agent comprising the step of adding to an injectable medium a substance comprising the compound of claim 20.

41. A compound DO3A-monoamide-Gly-(3 β ,5 β ,7 α ,12 α)-3-amino-7,12-dihydroxycholesterol-24-oic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1.

42. A method of imaging comprising the steps of:
administering to a patient a diagnostic imaging agent comprising DO3A-monoamide-Gly-(3 β ,5 β ,7 α ,12 α)-3-amino-7,12-dihydroxycholesterol-24-oic acid-BBN(7-14) complexed with a diagnostic radionuclide, wherein the BBN(7-14) sequence is SEQ. ID NO: 1, and

imaging said patient.

43. A method for preparing a diagnostic imaging agent comprising the step of adding to an injectable medium a compound comprising DO3A-monoamide-Gly-4-aminobenzoic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1.

44. A method of treating a patient comprising the step of administering to a patient a radiotherapeutic agent comprising the compound of claim 41 complexed with a therapeutic radionuclide.

45. A method of preparing a radiotherapeutic agent comprising the step of adding to an injectable medium a substance comprising the compound of claim 41.

46. A compound DO3A-monoamide-Gly-4-aminobenzoic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1.

47. A method of imaging comprising the steps of:
administering to a patient a diagnostic imaging agent comprising DO3A-monoamide-Gly-4-aminobenzoic acid-BBN(7-14) complexed with a diagnostic radionuclide, wherein the BBN(7-14) sequence is SEQ. ID NO: 1, and
imaging said patient.

48. A method for preparing a diagnostic imaging agent comprising the step of adding to an injectable medium a compound comprising DO3A-monoamide-Gly-4-aminobenzoic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1.

49. A method of treating a patient comprising the step of administering to a patient a radiotherapeutic agent comprising the compound of claim 46 complexed with a therapeutic radionuclide.

50. A method of preparing a radiotherapeutic agent comprising the step of adding to an injectable medium a substance comprising the compound of claim 46.

51. A compound of the general formula:



wherein

M is an optical label or a metal chelator optionally complexed with a radionuclide;

N is O, an alpha amino acid, a non-alpha amino acid with a cyclic group or other linking group;

O is an alpha amino acid or a non-alpha amino acid with a cyclic group;

P is O, an alpha amino acid, a non-alpha amino acid with a cyclic group, or other linking group; and

G is a GRP receptor targeting peptide,

wherein at least one of N, O or P is a non-alpha amino acid with a cyclic group.

52. The compound of claim 51, wherein G is an agonist or a peptide which confers agonist activity.

53. The compound of claim 51, wherein the non-alpha amino acid with a cyclic group is selected from the group consisting of:

4-aminobenzoic acid;
4-aminomethyl benzoic acid;
trans-4-aminomethylcyclohexane carboxylic acid;
4-(2-aminoethoxy)benzoic acid;
isonipecotic acid;
2-aminomethylbenzoic acid;
4-amino-3-nitrobenzoic acid;
4-(3-carboxymethyl-2-keto-1-benzimidazolyl)-piperidine;
6-(piperazin-1-yl)-4-(3H)-quinazolinone-3-acetic acid;
(2S,5S)-5-amino-1,2,4,5,6,7-hexahydro-azepino[3,21-hi]indole-4-one-2-carboxylic acid;
(4S,7R)-4-amino-6-aza-5-oxo-9-thiabicyclo[4.3.0]nonane-7-carboxylic acid;
3-carboxymethyl-1-phenyl-1,3,8-triazaspiro[4.5]decan-4-one;
N1-piperazineacetic acid;
N-4-aminoethyl-N-1-acetic acid;
(3S)-3-amino-1-carboxymethylcaprolactam; and
(2S,6S,9)-6-amino-2-carboxymethyl-3,8-diazabicyclo-[4,3,0]-nonane-1,4-dione.

54. The compound of claim 51, wherein M is selected from the group consisting of: DTPA, DOTA, DO3A, HPDO3A, EDTA, and TETA.

55. The compound of claim 51, wherein M is selected from the group consisting of EHPG and derivatives thereof.

56. The compound of claim 51, wherein M is selected from the group consisting of 5-Cl-EHPG, 5-Br-EHPG, 5-Me-EHPG, 5-t-Bu-EHPG, and 5-sec-Bu-EHPG.

57. The compound of claim 51, wherein M is selected from the group consisting of benzodiethylenetriamine pentaacetic acid (benzo-DTPA) and derivatives thereof.

58. The compound of claim 51, wherein M is selected from the group consisting of dibenzo-DTPA, phenyl-DTPA, diphenyl-DTPA, benzyl-DTPA, and dibenzyl DTPA.

59. The compound of claim 51, wherein M is selected from the group consisting of HBED and derivatives thereof.

60. The compound of claim 51, wherein M is a macrocyclic compound which contains at least 3 carbon atoms and at least two heteroatoms (O and/or N), which macrocyclic compounds can consist of one ring, or two or three rings joined together at the hetero ring elements.

61. The compound of claim 51, wherein M is selected from the group consisting of benzo-DOTA, dibenzo-DOTA, and benzo-NOTA, benzo-TETA, benzo-DOTMA, and benzo-TETMA.

62. The compound of claim 51, wherein M is selected from the group consisting of derivatives of 1,3-propylenediaminetetraacetic acid (PDTA) and triethylenetetraaminehexaacetic acid (TTHA); derivatives of 1,5,10-N,N',N''-tris(2,3-dihydroxybenzoyl)-tricatecholate (LICAM) and 1,3,5-N,N',N''-tris(2,3-dihydroxybenzoyl) aminomethylbenzene (MECAM).

63. The compound of claim 51, selected from the group consisting of

- DO3A-monoamide-Gly-4-aminobenzoic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
- DO3A-monoamide-4-aminomethyl benzoic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
- DO3A-monoamide-trans-4-aminomethylcyclohexyl carboxylic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
- DO3A-monoamide-4-(2-aminoethoxy)benzoic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
- DO3A-monoamide-Gly-isonipecotic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
- DO3A-monoamide-2-aminomethylbenzoic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
- DO3A-monoamide-4-aminomethyl-3-nitrobenzoic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
- DO3A-monoamide-8-amino-3,6-dioxaoctanoic acid-1-naphthylalanine-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
- DO3A-monoamide-4-(3-carboxymethyl-2-keto-1-benzimidazolyl)-piperidine-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
- DO3A-monoamide-6-(piperazin-1-yl)-4-(3H)-quinazolinone-3-acetic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

- DO3A-monoamide-(2S,5S)-5-amino-1,2,4,5,6,7-hexahydro-azepino[3,21-hi]indole-4-one-2-carboxylic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
- DO3A-monoamide-(4S,7R)-4-amino-6-aza-5-oxo-9-thiabicyclo[4.3.0]nonane-7-carboxylic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
- DO3A-monoamide-N,N-dimethylglycine-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
- DO3A-monoamide-3-carboxymethyl-1-phenyl-1,3,8-triazaspiro[4.5]decan-4-one-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
- DO3A-monoamide-N1-piperazineacetic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
- DO3A-monoamide-N-4-aminoethyl-N-1-piperazineacetic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
- DO3A-monoamide-(3S)-3-amino-1-carboxymethylcaprolactam-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
- DO3A-monoamide-(2S,6S,9)-6-amino-2-carboxymethyl-3,8-diazabicyclo-[4,3,0]-nonane-1,4-dione-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
- DO3A-monoamide-5-aminopentanoic acid-trans-4-aminomethylcyclohexane-1-carboxylic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
- DO3A-monoamide-trans-4-aminomethylcyclohexane-1-carboxylic acid-D-phenylalanine-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
- DO3A-monoamide-4-aminomethylbenzoic acid-8-amino-3,6-dioxaoctanoic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
- DO3A-monoamide-4-benzoyl-(L)-phenylalanine-trans-4-aminomethylcyclohexane-1-carboxylic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
- DO3A-monoamide-trans-4-aminomethylcyclohexane-1-carboxylic acid-Arg-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
- DO3A-monoamide-trans-4-aminomethylcyclohexane-1-carboxylic acid-Lys-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
- DO3A-monoamide-trans-4-aminomethylcyclohexane-1-carboxylic acid-diphenylalanine-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
- DO3A-monoamide-trans-4-aminomethylcyclohexane-1-carboxylic acid-1-naphthylalanine-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
- DO3A-monoamide-trans-4-aminomethylcyclohexane-1-carboxylic acid-8-amino-3,6-dioxaoctanoic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
- DO3A-monoamide-trans-4-aminomethylcyclohexane-1-carboxylic acid-Ser-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
- DO3A-monoamide-trans-4-aminomethylcyclohexane-1-carboxylic acid-2,3-diaminopropionic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
- DO3A-monoamide-trans-4-aminomethylcyclohexane-1-carboxylic acid-biphenylalanine-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
- DO3A-monoamide-trans-4-aminomethylcyclohexane-1-carboxylic acid-(2S,5S)-5-amino-1,2,4,5,6,7-hexahydro-azepino[3,21-hi]indole-4-one-2-carboxylic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

DO3A-monoamide-trans-4-aminomethylcyclohexane-1-carboxylic acid-trans-4-aminomethylcyclohexane-1-carboxylic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
DO3A-monoamide-8-amino-3,6-dioxaoctanoic acid-phenylalanine-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
DO3A-monoamide-trans-4-aminomethylcyclohexane-1-carboxylic acid-phenylalanine-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
DO3A-monoamide-8-aminooctanoic acid-trans-4-aminomethylcyclohexane-1-carboxylic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
DO3A-monoamide-4'-aminomethyl-biphenyl-1-carboxylic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
DO3A-monoamide-3'-aminomethyl-biphenyl-3-carboxylic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
CMDOTA-Gly-4-aminobenzoic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
DO3A-monoamide-4-aminomethylphenoxyacetic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
DO3A-monoamide-Gly-4-aminophenylacetic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
HPDO3A-4-phenoxy-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
DO3A-monoamide-3-aminomethylbenzoic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
DO3A-monoamide-4-aminomethylphenylacetic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;
DO3A-monoamide-4-aminomethyl-3-methoxybenzoic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1;

Boa-Gly-4-aminobenzoic acid-BBN(7-14);

DO3A-monoamide-Gly-4-hydrazinobenzoyl-BBN(7-14);
DO3A-monoamide-4-aminobenzoic acid-BBN(7-14);
DO3A-monoamide-4-aminobenzoic acid-Gly-BBN(7-14);
DO3A-monoamide-Gly-6-Aminonicotinic acid-BBN(7-14);
DO3A-monoamide-Gly-4'-Amino-2'-methyl biphenyl-4-carboxylic acid-BBN(7-14);
DO3A-monoamide-Gly-3'-Aminobiphenyl-3-carboxylic acid-BBN(7-14);
DO3A-monoamide-Gly-1,2-diaminoethyl-Terephthalic acid-BBN(7-14);
DO3A-monoamide-Gly-Gly-4-aminobenzoic acid-BBN(7-14);
DO3A-monoamide-G-4-aminobenzoic acid-EWAVGHLM-NH₂;
DO3A-monoamide-G-4-aminobenzoic acid-QWAVGHLM-OH;
DO3A-monoamide-G-4-aminobenzoic acid-(D)-Phe-BBN(7-14);
DO3A-monoamide-G-4-aminobenzoic acid-QRLGNQWAVGHLM-NH₂;

DO3A-monoamide-G-4-aminobenzoic acid-QRYGNQWAVGHLM-NH₂;
DO3A-monoamide-G-4-aminobenzoic acid-QKYGNQWAVGHLM-NH₂;
DO3A-monoamide-G-4-aminobenzoic acid-(D)-Phe-QWAVGHL-NH-Pentyl;
DO3A-monoamide-G-4-aminobenzoic acid-QWSVaHLM-NH₂;
DO3A-monoamide-G-4-aminobenzoic acid-(D)-Phe-QWAVGHLL-NH₂;
DO3A-monoamide-G-4-aminobenzoic acid-(D)-Tyr-QWAV-Bala-HF-Nle-NH₂;
DO3A-monoamide-G-4-aminobenzoic acid-Phe-QWAV-Bala-HF-Nle-NH₂;
DO3A-monoamide-G-4-aminobenzoic acid-QWAGHFL-NH₂;
DO3A-monoamide-G-4-aminobenzoic acid-LWAVGSFM-NH₂;
DO3A-monoamide-G-4-aminobenzoic acid-HWAVGHLM-NH₂;
DO3A-monoamide-G-4-aminobenzoic acid-LWAVGSFM-NH₂;
DO3A-monoamide-G-4-aminobenzoic acid-QWAVGHFM-NH₂;
DO3A-monoamide-Gly-3-aminobenzoic acid-BBN(7-14);
DO3A-monoamide-Gly-6-aminonaphthoic acid-BBN(7-14);
DO3A-monoamide-Gly-4-methylaminobenzoic acid-BBN(7-14);
Cm4pm10d2a-Gly-4-aminobenzoic acid-BBN(7-14);
N,N-dimethylglycine-Ser-Cys(Acm)-Gly-Gly-4-aminobenzoic acid-BBN(7-14);
N,N-dimethylglycine-Ser-Cys(Acm)-Gly-Gly-3-amino-3-deoxycholic acid-BBN(7-14);
DO3A-monoamide-Gly-3-methoxy-4-aminobenzoic acid-BBN(7-14);
DO3A-monoamide-Gly-3-chloro-4-aminobenzoic acid-BBN(7-14);
DO3A-monoamide-Gly-3-methyl-4-aminobenzoic acid-BBN(7-14);
DO3A-monoamide-Gly-3-hydroxy-4-aminobenzoic acid-BBN(7-14);
(DO3A-monoamide)₂-N,N'-Bis(2-aminoethyl)-succinamic acid-BBN(7-14);
DO3A-monoamide-G-4-aminobenzoic acid-QWAVGHFL-NH₂;
DO3A-monoamide-4-aminomethylbenzoic acid-L-1-Naphthylalanine-QWAVGHLM-NH₂;
and
DO3A-monoamide-G-4-aminobenzoic acid-QWAVGNMeHisLM-NH₂.

64. The compound of any one of claims 51, 52 or 53, wherein the optical label is selected from the group consisting of organic chromophores, organic fluorophores, light-

absorbing compounds, light-reflecting compounds, light-scattering compounds, and bioluminescent molecules.

65. A method of imaging comprising the steps of:

administering to a patient a diagnostic imaging agent comprising the compound of claim 51 wherein M is a metal chelator complexed with a diagnostic radionuclide; and
imaging said patient.

66. A method of imaging comprising the steps of:

administering to a patient a diagnostic imaging agent comprising the compound of claim 63, and
imaging said patient.

67. A method of imaging comprising the steps of:

administering to a patient a diagnostic imaging agent comprising the compound of claim 51, wherein M is an optical label, and
imaging said patient.

68. A method for preparing a diagnostic imaging agent comprising the step of adding to an injectable medium a substance comprising the compound of claim 51.

69. A method of treating a patient comprising the step of administering to a patient a radiotherapeutic agent comprising the compound of claim 51 complexed with a therapeutic radionuclide.

70. A method of preparing a radiotherapeutic agent comprising the step of adding to an injectable medium a substance comprising the compound of claim 51.

71. A method of synthesizing DO3A-monoamide-Gly-(3 β ,5 β ,7 α ,12 α)-3-amino-7,12-dihydroxycholesterol-24-oic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1 comprising the steps of:

(a) shaking a solution in a solid phase peptide synthesis vessel, said solution comprising a resin and at least one peptide building ingredient,

(b) flushing said solution, and

(c) washing said resin with DMA,

wherein said at least one peptide building ingredient includes DMA morpholine, (3 β ,5 β ,7 α ,12 α)-3-[[[(9H-fluoren-9-ylmethoxy)amino]acetyl]amino-7,12-dihydroxycholan-24-oic acid, HOBt, DIC, HATU or mixtures thereof, and

wherein each of steps (a), (b) and (c) are repeated until the compound DO3A-monoamide-Gly-(3 β ,5 β ,7 α ,12 α)-3-amino-7,12-dihydroxycholan-24-oic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1 is obtained.

72. A method of synthesizing DO3A-monoamide-Gly-4-aminobenzoic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1 comprising the steps of:

(a) shaking a solution in a solid phase peptide synthesis vessel or reaction block, said solution comprising a resin and at least one peptide building ingredient,

(b) flushing said solution, and

(c) washing said resin with DMA,

wherein said at least one peptide building ingredient includes DMA, morpholine, Fmoc-4-aminobenzoic acid, HOBt, DIC, HBTU, HATU or mixtures thereof, and

wherein each of steps (a), (b) and (c) are repeated until the compound DO3A-monoamide-Gly-4-aminobenzoic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1 is obtained.

73. A method for labeling DO3A-monoamide-Gly-(3 β ,5 β ,7 α ,12 α)-3-amino-7,12-dihydroxycholan-24-oic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1 comprising the steps of

incubating a first solution comprising

DO3A-monoamide-Gly-(3 β ,5 β ,7 α ,12 α)-3-amino-7,12-dihydroxycholan-24-oic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1,

ammonium acetate,

a radioactive metal precursor selected from the group consisting of

$^{177}\text{LuCl}_3$ or $^{111}\text{InCl}_3$,

HCl, and

adding to said first solution a second solution comprising $\text{Na}_2\text{EDTA}\cdot 2\text{H}_2\text{O}$ and water to obtain a radiochemical purity greater than 95%.

74. A method for labeling DO3A-monoamide-Gly-4-aminobenzoic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1 comprising the steps of

incubating a first solution comprising

DO3A-monoamide-Gly-4-aminobenzoic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1,

ammonium acetate,

a radioactive metal precursor selected from the group consisting of $^{177}\text{LuCl}_3$ or $^{111}\text{InCl}_3$,

HCl, and

adding to said first solution a second solution comprising $\text{Na}_2\text{EDTA}\cdot 2\text{H}_2\text{O}$ and water to obtain a radiochemical purity greater than 95%.

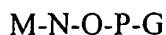
75. A method of synthesizing DO3A-monoamide-Gly-(3 β ,5 β ,7 α ,12 α)-3-amino-7,12-dihydroxycholan-24-oic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1 by coupling of individual amino acids, protected amino acids or modified amino acids, with any required additional treatments with reagents or processing steps before or after the coupling steps in solution.

76. A method of synthesizing DO3A-monoamide-Gly-4-aminobenzoic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1 by segment coupling of modified, protected, unprotected or otherwise variable peptide fragments combined with any required additional treatments with reagents or processing steps before or after the coupling steps in solution or on solid phase or via a combined solution and solid phase synthesis steps and methods.

77. A method of synthesizing DO3A-monoamide-Gly-(3 β ,5 β ,7 α ,12 α)-3-amino-7,12-dihydroxycholan-24-oic acid-BBN(7-14) wherein the BBN(7-14) sequence is SEQ. ID NO: 1 by coupling of individual amino acids protected amino acids or modified amino acids, with any

required additional treatments with reagents or processing steps before or after the coupling steps in solution.

78. A compound of the general formula:



wherein

M is DO3A, optionally complexed with a radionuclide;

N is O, an alpha or non-alpha amino acid or other linking group;

O is an alpha or non-alpha amino acid; and

P is O, an alpha or non-alpha amino acid or other linking group,

and G is a GRP receptor targeting peptide,

wherein at least one of N, O or P is 8-amino-3,6-dioxaoctanoic acid.

79. The compound of claim 78, wherein the GRP receptor targeting peptide is selected from the group consisting of QWAVGHLM-OH, QWAVGHLM-NH₂, QWAVGNMeHLM-NH₂, QWAVGHFL-NH₂, QRLGNQWAVGHLM-NH₂, QRYGNQWAVGHLM-NH₂, QKYGNQWAVGHLM-NH₂, QWAVGHL-NH-Pentyl, QWSVaHLM-NH₂, QWAVGHLL-NH₂, QWAV-Bala-HF-Nle-NH₂, QWAGHFL-NH₂, LWAVGSFM-NH₂, HWAVGHLM-NH₂, LWATGSFM-NH₂, LWAVGSFM-NH₂, QWAVaHLM-NH₂, and QWAVGHFM-NH₂.

80. A compound of the general formula:



wherein

M is DO3A, optionally complexed with a radionuclide;

N is O, an alpha or non-alpha amino acid or other linking group;

O is an alpha or non-alpha amino acid; and

P is O, an alpha or non-alpha amino acid or other linking group,

and G is a GRP receptor targeting peptide,
 wherein at least one of N, O or P is (3 β ,5 β ,12 α)-3-amino-12-hydroxycholestan-24-oic acid.

81. The compound of claim 80 wherein the GRP receptor targeting peptide is selected from the group consisting of QWAVGHLM-OH, QWAVGHLM-NH₂, QWAVGNMeHLM-NH₂, QWAVGHFL-NH₂, QRLGNQWAVGHLM-NH₂, QRYGNQWAVGHLM-NH₂, QKYGNQWAVGHLM-NH₂, QWAVGHL-NH-Pentyl, QWSVaHLM-NH₂, QWAVGHLL-NH₂, QWAV-Bala-HF-Nle-NH₂, QWAGHFL-NH₂, LWAVGSFM-NH₂, HWAVGHLM-NH₂, LWATGSFM-NH₂, LWAVGSFM-NH₂, QWAVaHLM-NH₂ and QWAVGHFM-NH₂.

82. A compound of the general formula:



wherein

M is DO3A, optionally complexed with a radionuclide;

N is O, an alpha or non-alpha amino acid or other linking group;

O is an alpha or non-alpha amino acid; and

P is O, an alpha or non-alpha amino acid or other linking group,

and G is a GRP receptor targeting peptide,

wherein at least one of N, O or P is 4-aminobenzoic acid.

83. The compound of claim 82, wherein the GRP receptor targeting peptide is selected from the group consisting of QWAVGHLM-OH, QWAVGHLM-NH₂, QWAVGNMeHLM-NH₂, QWAVGHFL-NH₂, QRLGNQWAVGHLM-NH₂, QRYGNQWAVGHLM-NH₂, QKYGNQWAVGHLM-NH₂, QWAVGHL-NH-Pentyl, QWSVaHLM-NH₂, QWAVGHLL-NH₂, QWAV-Bala-HF-Nle-NH₂, QWAGHFL-NH₂, LWAVGSFM-NH₂, HWAVGHLM-NH₂, LWATGSFM-NH₂, LWAVGSFM-NH₂, QWAVaHLM-NH₂, QWAVGHFM-NH₂, Nme-QWAVGHLM-NH₂, Q- Ψ [CSNH]WAVGHLM-NH₂, Q- Ψ [CH₂NH]-WAVGHLM-NH₂, Q- Ψ [CH=CH]WAVGHLM-NH₂, α -MeQWAVGHLM-NH₂, QNme-WAVGHLM-NH₂, QW- Ψ [CSNH]-AVGHLM-NH₂, QW- Ψ [CH₂NH]-AVGHLM-NH₂, QW- Ψ [CH=CH]-AVGHLM-

NH₂, Q- α -Me-WAVGHLM-NH₂, QW-Nme-AVGHLM-NH₂, QWA= Ψ [CSNH]-VGHLM-NH₂, QWA= Ψ [CH₂NH]-VGHLM-NH₂, QW-Aib-VGHLM-NH₂, QWAV-Sar-HLM-NH₂, QWAVG= Ψ [CSNH]-HLM-NH₂, QWAVG= Ψ [CH=CH]-HLM-NH₂, QWAV-Dala-HLM-NH₂, QWAVG-Nme-His-LM-NH₂, QWAVG-H= Ψ [CSNH]-L-M-NH₂, QWAVG-H= Ψ [CH₂NH]-LM-NH₂, QWAVGH= Ψ [CH=CH]-LM-NH₂, QWAVG- α -Me-HLM-NH₂, QWAVGH-Nme-LM-NH₂, QWAVGH- α -MeLM-NH₂, QWAVGHF-L-NH₂ and QWAVGHLM-NH₂.

84. A method of phototherapy comprising administering to a patient a compound of any one of claims 1, 20 or 51 wherein Mis an optical label useful in phototherapy.

85. A compound selected from the group consisting of:

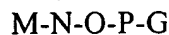
DO3A-monoamide- G-4-aminobenzoic acid-QWAVaHLM-NH₂
 DO3A-monoamide- G-4-aminobenzoic acid-fQWAVGHLM-NH₂
 DO3A-monoamide- G-4-aminobenzoic acid-fQWAVGHLL-NH₂
 DO3A-monoamide- G-4-aminobenzoic acid-fQWAVGHL-NH-pentyl
 DO3A-monoamide- G-4-aminobenzoic acid-yQWAV-Bala-HFNle-NH₂
 DO3A-monoamide- G-4-aminobenzoic acid-fQWAV-Bala-HFNle-NH₂
 DO3A-monoamide- G-4-aminobenzoic acid-QWAVGHFL-NH₂
 DO3A-monoamide- G-4-aminobenzoic acid-QWAVGNMeHisLM-NH₂
 DO3A-monoamide- G-4-aminobenzoic acid-LWAVGSFM-NH₂
 DQ3A-monoamide- G-4-aminobenzoic acid-HWAVGHLM-NH₂
 DO3A-monoamide- G-4-aminobenzoic acid-LWATGHFM-NH₂
 DO3A-monoamide- G-4-aminobenzoic acid-QWAVGHFM-NH₂
 DO3A-monoamide- G-4-aminobenzoic acid-QRLGNQWAVGHLM-NH₂
 DO3A-monoamide- G-4-aminobenzoic acid-QRYGNQWAVGHLM-NH₂
 DO3A-monoamide- G-4-aminobenzoic acid-QKYGNQWAVGHLM-NH₂
 Pglu-Q-Lys(DO3A-monoamide- G-4-aminobenzoic acid)-LGNQWAVGHLM-NH₂
 DO3A-monoamide- G-3-amino-3-deoxycholic acid-QWAVaHLM-NH₂
 DO3A-monoamide- G-3-amino-3-deoxycholic acid-fQWAVGHLM-NH₂
 DO3A-monoamide- G-3-amino-3-deoxycholic acid-fQWAVGHLL-NH₂
 DO3A-monoamide- G-3-amino-3-deoxycholic acid-fQWAVGHL-NH-pentyl

DO3A-monoamide- G-3-amino-3-deoxycholic acid-yQWAV-Bala-HFNle-NH₂
 DO3A-monoamide- G-3-amino-3-deoxycholic acid-fQWAV-Bala-HFNle-NH₂
 DO3A-monoamide- G-3-amino-3-deoxycholic acid-QWAVGHFL-NH₂
 DO3A-monoamide- G-3-amino-3-deoxycholic acid-QWAVGNMeHLMNH₂
 DO3A-monoamide- G-3-amino-3-deoxycholic acid-LWAVGSFM-NH₂
 DO3A-monoamide- G-3-amino-3-deoxycholic acid-HWAVGHLM-NH₂
 DO3A-monoamide- G-3-amino-3-deoxycholic acid-LWATGHFM-NH₂
 DO3A-monoamide- G-3-amino-3-deoxycholic acid-QWAVGHFM-NH₂
 DO3A-monoamide- G-3-amino-3-deoxycholic acid-QRLGNQWAVGlyHLM-NH₂
 DO3A-monoamide- G-3-amino-3-deoxycholic acid-QRYGNQWAVGHLM-NH₂
 DO3A-monoamide- G-3-amino-3-deoxycholic acid-QKYGNQWAVGHLM-NH₂
 Pglu-Q-Lys(DO3A-monoamide- G-3-amino-3-deoxycholic acid)-LGNQWAVGHLM-NH₂.

86. The method of any one of claims 16, 17, 39, 44, 49 or 69 further comprising administering a chemotherapeutic or other therapeutic agent.

87. A compound of any one of claims 78 or 80, wherein the GRP receptor targeting peptide is selected from the group consisting of Nme-QWAVGHLM-NH₂, Q-Ψ[CSNH]WAVGHLM-NH₂, Q-Ψ[CH₂NH]-WAVGHLM-NH₂, Q-Ψ[CH=CH]WAVGHLM-NH₂, α-MeQWAVGHLM-NH₂, QNme-WAVGHLM-NH₂, QW-Ψ[CSNH]-AVGHLM-NH₂, QW-Ψ[CH₂NH]-AVGHLM-NH₂, QW-Ψ[CH=CH]-AVGHLM-NH₂, Q-α-Me-WAVGHLM-NH₂, QW-Nme-AVGHLM-NH₂, QWA=Ψ[CSNH]-VGHLM-NH₂, QWA-Ψ[CH₂NH]-VGHLM-NH₂, QW-Aib-VGHLM-NH₂, QWAV-Sar-HLM-NH₂, QWAVG-Ψ[CSNH]-HLM-NH₂, QWAVG-Ψ[CH=CH]-HLM-NH₂, QWAV-Dala-HLM-NH₂, QWAVG-Nme-His-LM-NH₂, QWAVG-H-Ψ[CSNH]-L-M-NH₂, QWAVG-H-Ψ[CH₂NH]-LM-NH₂, QWAVGH-Ψ[CH=CH]-LM-NH₂, QWAVG-α-Me-HLM-NH₂, QWAVGH-Nme-LM-NH₂, QWAVGH-α-MeLM-NH₂, QWAVGHF-L-NH₂ and QWAVGHLM-NH₂.

88. A method for targeting the gastrin releasing peptide receptor (GRP-R) and neuromedin-B receptor (NMB-R), said method comprising administering a compound of the general formula:



wherein

M is an optical label or a metal chelator, optionally complexed with a radionuclide;

N is 0, an alpha or non-alpha amino acid or other linking group;

O is an alpha or non-alpha amino acid; and

P is 0, an alpha or non-alpha amino acid or other linking group,

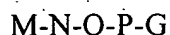
and G is a GRP receptor targeting peptide,

wherein at least one of N, O or P is a non-alpha amino acid.

89. The method of claim 88, wherein at least one of N, O or P is a non-alpha amino acid with a cyclic group.

90. The method of claim 89, wherein N is Gly, O is 4-aminobenzoic acid and P is none.

91. A method of targeting the GRP-R and the NMB-R, said method comprising administering a compound of the general formula:



wherein

M is an optical label or a metal chelator, optionally complexed with a radionuclide;

N is 0, an alpha amino acid, a substituted bile acid or other linking group;

O is an alpha amino acid or a substituted bile acid; and

P is 0, an alpha amino acid, a substituted bile acid or other linking group;

and

G is a GRP receptor targeting peptide, and
wherein at least one of N, O or P is a substituted bile acid.

92. The method of claim 91, wherein N is Gly, O is (3 β ,5 β ,7 α ,12 α)-3-amino-7,12-dihydroxycholan-24-oic acid, and P is none.

93. The method of any one of claims 88, 89 or 91, wherein the GRP receptor targeting peptide is selected from the group consisting of:

Nme-QWAVGHLM-NH₂,

Q- Ψ [CSNH]WAVGHLM-NH₂,

Q- Ψ [CH₂NH]-WAVGHLM-NH₂,

Q- Ψ [CH=CH]WAVGHLM-NH₂,

α -MeQWAVGHLM-NH₂,

QNme-WAVGHLM-NH₂,

QW- Ψ [CSNH]-AVGHLM-NH₂,

QW- Ψ [CH₂NH]-AVGHLM-NH₂,

QW- Ψ [CH=CH]-AVGHLM-NH₂,

Q- α -Me-WAVGHLM-NH₂,

QW-Nme-AVGHLM-NH₂,

QWA= Ψ [CSNH]-VGHLM-NH₂,

QWA- Ψ [CH₂NH]-VGHLM-NH₂,

QW-Aib-VGHLM-NH₂,

QWAV-Sar-HLM-NH₂,

QWAVG- Ψ [CSNH]-HLM-NH₂,

QWAVG- Ψ [CH=CH]-HLM-NH₂,

QWAV-Dala-HLM-NH₂,

QWAVG-Nme-His-LM-NH₂,
QWAVG-H-Ψ[CSNH]-L-M-NH₂,
QWAVG-H-Ψ[CH₂NH]-LM-NH₂,
QWAVGH-Ψ[CH=CH]-LM-NH₂,
QWAVG-α-Me-HLM-NH₂,
QWAVGH-Nme-LM-NH₂, and
QWAVGH-α-MeLM-NH₂.

94. A method of improving the in vivo activity of a compound of any one of claims 1, 20, 51, 78, 80, or 82, comprising the step of modifying the GRP receptor targeting peptide so as to reduce proteolytic cleavage of said peptide.

95. The method of claim 94, wherein the modified GRP-R targeting peptide is an agonist.

96. A method of reducing proteolytic cleavage of a gastrin releasing peptide (GRP) analogue of any one of claims 1, 20, 51, 78, 80, or 82, said method comprising the step of modifying the peptide bond in the GRP-R targeting moiety.

97. The method of claim 96, wherein the modified GRP-R targeting peptide is an agonist.

98. A method of reducing proteolytic cleavage of a gastrin releasing peptide (GRP) analogue having a gastrin releasing peptide receptor (GRP-R) targeting moiety that is an agonist, said method comprising the step of modifying the peptide bond in the GRP-R targeting moiety.

99. The method of any one of claims 94, 96 or 98, wherein the GRP-R targeting moiety is selected from the group consisting of:

Nme-QWAVGHLM-NH₂,
Q-Ψ[CSNH]WAVGHLM-NH₂,
Q-Ψ[CH₂NH]-WAVGHLM-NH₂,

Q- Ψ [CH=CH]WAVGHLM-NH₂,
 α -MeQWAVGHLM-NH₂,
QNme-WAVGHLM-NH₂,
QW- Ψ [CSNH]-AVGHLM-NH₂,
QW- Ψ [CH₂NH]-AVGHLM-NH₂,
QW- Ψ [CH=CH]-AVGHLM-NH₂,
Q- α -Me-WAVGHLM-NH₂,
QW-Nme-AVGHLM-NH₂,
QWA= Ψ [CSNH]-VGHLM-NH₂,
QWA- Ψ [CH₂NH]-VGHLM-NH₂,
QW-Aib-VGHLM-NH₂,
QWAV-Sar-HLM-NH₂,
QWAVG- Ψ [CSNH]-HLM-NH₂,
QWAVG- Ψ [CH=CH]-HLM-NH₂,
QWAV-Dala-HLM-NH₂,
QWAVG-Nme-His-LM-NH₂,
QWAVG-H- Ψ [CSNH]-L-M-NH₂,
QWAVG-H- Ψ [CH₂NH]-LM-NH₂,
QWAVGH- Ψ [CH=CH]-LM-NH₂,
QWAVG- α -Me-HLM-NH₂,
QWAVGH-Nme-LM-NH₂, and
QWAVGH- α -MeLM-NH₂.

100. A compound according to any one of claims 1, 20, 51, 78, 80, or 82, wherein G is a GRP receptor targeting peptide that has been modified so as to reduce proteolytic cleavage.

101. A method of conferring specificity for the GRP-R and/or the NMB-R on a compound comprising an optical label or metal chelator optionally complexed with a radionuclide and a GRP-R targeting peptide, comprising including in such compound a linker of the general formula:



wherein

N is O, an alpha or non-alpha amino acid or other linking group;

O is an alpha or non-alpha amino acid; and

P is O, an alpha or non-alpha amino acid or other linking group,

wherein at least one of N, O or P is a non-alpha amino acid.

102. A method of conferring specificity for the GRP-R and/or the NMB-R on a compound comprising an optical label or metal chelator optionally complexed with a radionuclide and a GRP-R targeting peptide, comprising including in such compound a linker of the general formula:



wherein

N is O, an alpha amino acid, a substituted bile acid or other linking group;

O is an alpha amino acid or a substituted bile acid; and

P is O, an alpha amino acid, a substituted bile acid or other linking group,

wherein at least one of N, O or P is a substituted bile acid.

103. A method of conferring specificity for the GRP-R and/or the NMB-R on a compound comprising an optical label or metal chelator optionally complexed with a radionuclide and a GRP-R targeting peptide, comprising including in such compound a linker of the general formula:



wherein

N is O, an alpha amino acid, a non-alpha amino acid with a cyclic group or other linking group;

O is an alpha amino acid or a non-alpha amino acid with a cyclic group;
and

P is O, an alpha amino acid, a non-alpha amino acid with a cyclic group or other linking group,

wherein at least one of N, O or P is a non-alpha amino acid with a cyclic group.

104. A method of improving the in vivo activity of a compound comprising an optical label or metal chelator optionally complexed with a radionuclide and a GRP-R targeting peptide, comprising including in such compound a linker of the general formula:



wherein

N is O, an alpha or non-alpha amino acid or other linking group;

O is an alpha or non-alpha amino acid; and

P is O, an alpha or non-alpha amino acid or other linking group,

wherein at least one of N, O or P is a non-alpha amino acid.

105. A method of improving the in vivo activity of a compound comprising an optical label or metal chelator optionally complexed with a radionuclide and a GRP-R targeting peptide, comprising including in such compound a linker of the general formula:



wherein

N is O, an alpha amino acid, a substituted bile acid or other linking group;

O is an alpha amino acid or a substituted bile acid; and

P is O, an alpha amino acid, a substituted bile acid or other linking group,

wherein at least one of N, O or P is a substituted bile acid.

106. A method of improving the in vivo stability of a compound comprising an optical label or metal chelator optionally complexed with a radionuclide and a GRP-R targeting peptide, comprising including in such compound a linker of the general formula:



wherein

N is 0, an alpha amino acid, a non-alpha amino acid with a cyclic group or other linking group;

O is an alpha amino acid or a non-alpha amino acid with a cyclic group;

and

P is 0, an alpha amino acid, a non-alpha amino acid with a cyclic group or other linking group,

wherein at least one of N, O or P is a non-alpha amino acid with a cyclic group.

107. A compound having the following structure:

